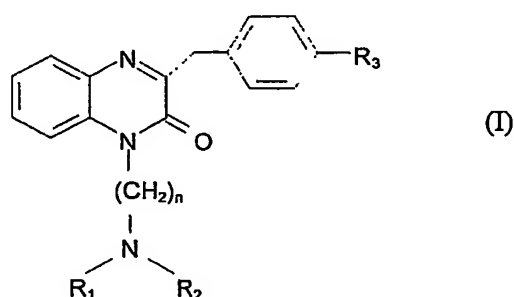


Claims

1. A pharmaceutical formulation, especially for the trans-tympanic or intra-trans-tympanic administration, according to which the formulation contains a quinoxalin-2-one derivative of the formula



- in which R1 and R2, independently of one another, are hydrogen, methyl-, ethyl-, propyl- or butyl- groups, or R1 and R2 together form a cyclo-alkyl compound, R3 is methoxy-, ethoxy-, hydroxy-, hydrogen, C1-C4-alkyl- or halogen; and n = 1, 2 or 3;
- or a pharmaceutically compatible salt of the aforesaid derivatives; and, in addition, containing an effective amount of a compound that acts as a permeability accelerator or carrier in respect of the afore-mentioned quinoxalin-2-one derivatives; as well as, if necessary, a pharmaceutically compatible solvent.
2. A pharmaceutical formulation as claimed in Claim 1, according to which R1 and R2 are ethyl groups; n = 2, and R3 is a methoxy group, so that the molecule is 1-diethylaminoethyl-3-(p-methoxybenzyl)-1,2-dihydro-quinoxalin-2-one (INN: Caroverin), or a pharmaceutically compatible salt thereof.
3. A pharmaceutical formulation as claimed in Claim 1, according to which R1 and R2 are ethyl groups; n = 2; and R3 is a hydroxy group, so that the molecule is 1-diethylaminoethyl-3-(p-hydroxy-benzyl)-1,2-dihydro-quinoxaline-2-one or a pharmaceutically compatible salt thereof.
4. A pharmaceutical formulation as claimed in any one of the Claims 1 to 3, according to which the permeation accelerator or carrier comprises at least one of the

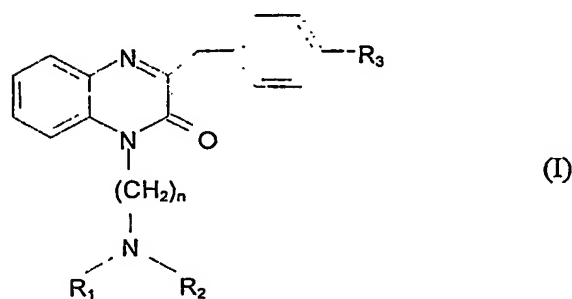
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- following compounds: Dimethyl sulphoxide, monoglyceride, ethyl- or methyl-palmitic acid ester, fatty acids, fatty acid esters, fatty acid alcohols, substituted dialkyl fatty acids having 8 to 14 carbon atoms, N-methyl-pyrrolidone, N-methyl-2-pyrrolidone, oleic acid, propylene glycol, diethylene glycol, the mono-alkyl ether or
- 5 carboxy-methyl ether of polyethylene glycol, propylene glycol fatty acid ester, lauryl acetate, N,N-dialkyl lauramide, N,N-dialkyl lauramide/dimethyl formamide mixture, dimethyl acetamide, N,N-diethyl-m-toluamide, histamine, ethylene glycol monomethyl ether, isopropyl myristate, isopropyl palmitate, propylene glycol and
- 10 oleic acid or oleic alcohol, 2-pyrrolidone and dimethyl formamide, lauric acid, linoleic acid, lauryl acetate, sodium oleate, glycerine mono-oleate, urea and 1-bisabolol.
5. A pharmaceutical formulation as claimed in any one of the Claims 1 to 4, according to which the permeability accelerator used at least contains dimethyl sulphoxide or
- 15 propylene glycol.
6. A pharmaceutical formulation as claimed in any one of the Claims 1 to 5, according to which the part by weight of dimethyl sulphoxide in the formulation is between 5 and 50%.
- 20 7. A pharmaceutical formulation as claimed in any one of the Claims 1 to 6, according to which at least one further, second permeability accelerator is contained in combination with dimethyl sulphoxide.
- 25 8. A pharmaceutical formulation as claimed in any one of the Claims 1 to 7, according to which the second permeability accelerator is a glycol compound.
9. A pharmaceutical formulation as claimed in Claim 7 or 8, according to which the second permeability accelerator is ethylene- or propylene glycol.
- 30 10. A pharmaceutical formulation as claimed in any one of the Claims 1 to 9, according to which the ratio by weight of the quinoxalin-2-one derivative to the permeability

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accelerator is between 1:2 and 1:500, preferably between 1:20 and 1:100.

11. A pharmaceutical formulation as claimed in any one of the Claims 1 to 10,
according to which glycerine and/or water are used as the solvent.
12. A pharmaceutical formulation as claimed in any one of the Claims 1 to 11,
according to which the viscosity of the formulation is between 5000 and 25000
mPas (milliPascal), preferably between 15000 and 20000 mPas.
13. A pharmaceutical formulation as claimed in any one of the Claims 1 to 4, according
to which a nanoemulsion or liposomes, which contain the said quinoxalon-2-one
compound according to Formula (I), are used as a permeation accelerator or carrier.
14. A pharmaceutical formulation as claimed in Claim 13, according to which the
nanoemulsion or the liposomes contain the following compounds besides the said
quinoxalon-2-one compound:
 - a membrane-forming molecule and
 - a coemulsifier.
15. The use of a quinoxalin-2-one compound of the formula



according to which

- 25 R1 and R2, independently of one another, are hydrogen, methyl-, ethyl-, propyl- or
butyl-, or R1 and R2 together form a cyclo-alkyl compound;
R3 is methoxy, ethoxy, hydroxy, hydrogen, C1-C4 alkyl or halogen; and n = 1,2
or 3,

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- 5 or a pharmaceutically compatible salt of the afore-mentioned quinoxalin-2-one compound, together with an effective amount of compound that acts as a permeability accelerator or carrier in respect of the quinoxalin-2-one compound, for the production of a pharmaceutical formulation for trans-tympanic or intra-trans-tympanic administration.
16. The use as claimed in Claim 15, according to which R1 and R2 are ethyl groups, n = 2 and R3 is a methoxy group, so that the molecule is 1-diethyl-aminoethyl-3-(p-methoxybenzyl)-1,2-dihydro quinoxalin-2-one or a pharmaceutically compatible salt thereof.
- 10 17. The use as claimed in Claim 15, according to which R1 and R2 are ethyl groups, n = 2 and R3 is a hydroxy group, so that the molecule is 1-diethyl-aminoethyl-3-(p-hydroxybenzyl)-1,2-dihydro quinoxalin-2-one or a pharmaceutically compatible salt thereof.
- 15 18. The use as claimed in any one of the Claims 15 to 17, according to which the permeability accelerator at least contains dimethyl sulphoxide or propylene glycol.
- 20 19. The use as claimed in Claim 18, according to which the part by weight of dimethyl sulphoxide used in the formulation is between 5 and 50%.
- 25 20. The use as claimed in any one of the Claims 15 to 19, according to which at least one further second permeability accelerator is contained in combination with dimethyl sulphoxide.
21. The use as claimed in Claim 20, according to which the second permeability accelerator used is a glycol compound.
- 30 22. The use as claimed in Claim 20 or 21, according to which the second permeability accelerator used is ethylene- and/or propylene glycol.

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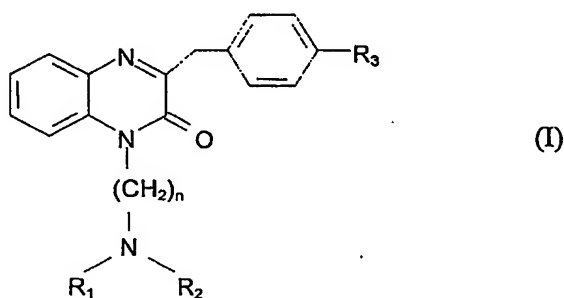
23. The use as claimed in any one of the Claims 15 to 22, according to which the ratio by weight of quinoxalin-2-one to the permeability accelerator is between 1:2 and 1:500, preferably between 1:20 and 1:100.
- 5 24. The use as claimed in any one of the Claims 15 to 23, according to which the solvent used is glycerine and/or water.
25. The use as claimed in any one of the Claims 15 to 17, according to which a nanoemulsion or liposomes, which contain the said quinoxalin-2-one compound according to Formula (I), are used as a permeation accelerator or carrier.
- 10 26. The use as claimed in Claim 25, according to which the nanoemulsion or the liposomes contain the following compounds besides the said quinoxalin-2-one compound:
- 15 - a membrane-forming molecule and
- a coemulsifier.
27. The use as claimed in any one of the Claims 15 to 24, according to which the formulation is liquid and the part by weight of the quinoxalin-2-one compound is between 0.5% and 12%.
- 20 28. The use as claimed in any one of the Claims 15 to 27, according to which the formulation is used either as a non-aqueous or as an aqueous formulation.
- 25 29. The use as claimed in any one of the Claims 15 to 28, according to which it is used for the treatment of inner ear diseases.
30. The use as claimed in any one of the Claims 15 to 28, according to which it is used for the treatment of muscular or myogenic tinnitus.
- 30 31. The use as claimed in any one of the Claims 15 to 28, according to which it is used for the treatment of Morbus Ménière.

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32. The use as claimed in any one of the Claims 15 to 28, according to which it is used for the treatment of speech-discrimination deficiency, especially in combination with hearing deficiency.

5 33. The use as claimed in any one of the Claims 15 to 28, according to which it is used for the treatment of labyrinthine vertigo.

34. The use of a quinoxalin-2-one compound of the formula

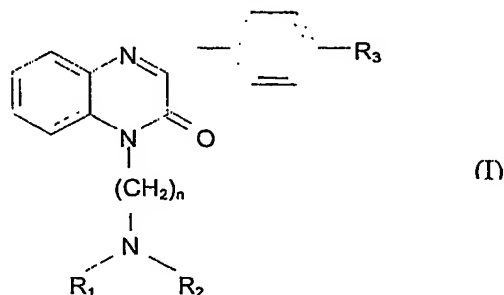


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according to which R1 and R2, independently of one another, are hydrogen, methyl-, ethyl-, propyl- or butyl-, or R1 and R2 together are a cyclo-alkyl compound; R3 is methoxy, ethoxy, hydroxy, hydrogen, C1-C4 alkyl or halogen; and n = 1, 2 or 3, preferably Caroverin or a pharmaceutically compatible salt of the afore-mentioned quinoxalin-2-one compound, for the production of a medicine for the treatment of muscular or myognathic tinnitus.

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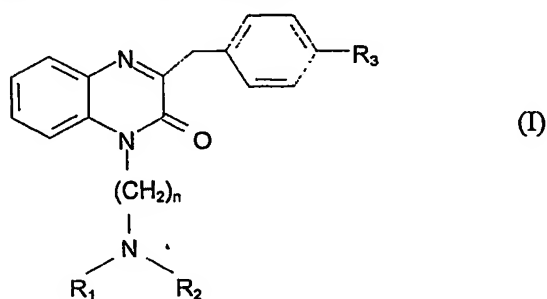
20 35. The use of a quinoxalin-2-one derivative of the formula



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according to which R1 and R2, independently of one another, are hydrogen, methyl-, ethyl-, propyl- or butyl- or R1 and R2 together are a cyclo-alkyl compound; R3 is methoxy, ethoxy, hydroxy, hydrogen, C1-C4 alkyl or halogen; and n = 1, 2 or 3, preferably Caroverin, or of a pharmaceutically acceptable salt of one of the aforementioned quinoxalin-2-one compounds for the production of a medicine for the treatment of Morbus Ménière.

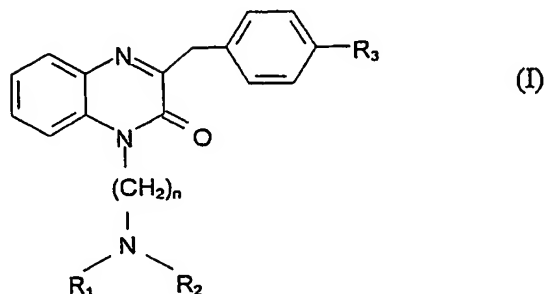
36. The use of a quinoxalin-2-one derivative of the formula



In which R1 and R2, independently of one another, are hydrogen, methyl-, ethyl-, propyl-, butyl—or R1 together with R2 are a cyclo-alkyl compound; R3 is methoxy, ethoxy, hydroxy, hydrogen, C1-C4 alkyl, or halogen; and n = 1, 2 or 3, preferably Caroverin, or of a pharmaceutically compatible salt of the aforementioned quinoxalin-2-one derivative for the production of a medicine for the treatment of hearing deficiencies, especially such together with speech comprehension deficiencies.

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37. The use of a quinoxalin-2-one derivative of the formula



5 in which R1 and R2, independently of one another, are hydrogen, methyl-ethyl-,
propyl-, butyl- or R1 and R2 together are a cyclo-alkyl compound;
R3 is methoxy, ethoxy, hydroxy, hydrogen, C1-C4 alkyl, or halogen, and
n = 1, 2 or 3, preferably Caroverin, or of a pharmaceutically compatible salt of one
of the afore-mentioned quinoxalin-2-one compounds for the production of a
10 medicine for the treatment of labyrinthine vertigo.

38. The use according to any one of the claims 34 to 37, characterized in that the
derivative is Caroverin.